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(54) Title: ACTIVATION OF PEPTIDE PRODRUGS BY HK2

(57) Abstract: The invention provides novel peptide prodrugs that contain cleavage sites specifically cleaved by human kallikrein 2 (HK2). These prodrugs are useful for substantially inhibiting the non-specific toxicity of a variety of therapeutic drugs. Upon cleavage of the prodrug by HK2, the therapeutic drugs are activated and exert their toxicity. Methods for treating cell proliferative disorders are also featured in the invention.